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http://www.cas.org/support/stngen/stndoc/properties.html

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L6 STRUCTURE UPLOADED

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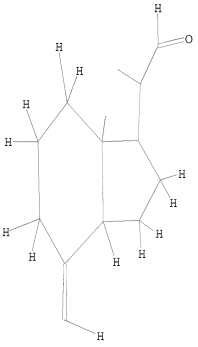

Structure attributes must be viewed using STN Express query preparation.

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THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 191.05 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

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FULL SCREEN SEARCH COMPLETED -      6969 TO ITERATE

100.0% PROCESSED     6969 ITERATIONS                                74 ANSWERS
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L7           74 SEA SSS FUL L6

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COST IN U.S. DOLLARS                              SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                   0.00      -6.80

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FILE COVERS 1907 - 26 Mar 2010  VOL 152 ISS 14
FILE LAST UPDATED: 25 Mar 2010  (20100325/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Dec 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE:  Dec 2009

CAplus now includes complete International Patent Classification (IPC)
reclassification data for the first quarter of 2010.

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This file contains CAS Registry Numbers for easy and accurate
substance identification.

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L8          107 L7

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L9           83 L8 AND PY<2003

=> s l9 and vitamin d
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        33499 VITAMIN D
                (VITAMIN(W)D)
L10         69 L9 AND VITAMIN D

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For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

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L10  ANSWER 1 OF 69  CAPLUS  COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER:        2001:526056  CAPLUS
DOCUMENT NUMBER:         135:107504
TITLE:                   Preparation and formulations of novel vitamin
                         D analogues
INVENTOR(S):             Hansen, Kai Holst
PATENT ASSIGNEE(S):      Leo Pharmaceutical Products Ltd. A/S, Den.
SOURCE:                  PCT Int. Appl., 38 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

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     WO 2001051464        A1    20010719    WO 2001-DK14           20010110 <--
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             HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
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     HU 2002004117        A2    20030428    HU 2002-4117           20010110
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     JP 2003519682        T     20030624    JP 2001-551846         20010110
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AU 775576	B2	20040805	AU 2001-23536		20010110
CN 1168726	C	20040929	CN 2001-803566		20010110
RU 2261244	C2	20050927	RU 2002-121487		20010110
US 20030004144	A1	20030102	US 2001-787664		20010320
US 6646143	B2	20031111			
MX 2002006725	A	20040910	MX 2002-6725		20020705
HK 1051197	A1	20050311	HK 2003-103464		20030516
PRIORITY APPLN. INFO.:			US 2000-174924P	P	20000110
			WO 2001-DK14	W	20010110

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 135:107504
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

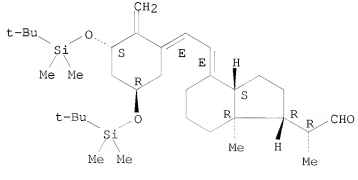
AB Vitamin D analogs of formula I [X = H, OH; R1, R2= H, (C1-C4)alkyl optionally substituted with one hydroxyl group or one or more fluorine atoms, or, together with the carbon atom to which they are attached, R1 and R2 form a (C3-C5)carbocyclic ring; R3 = (C1-C4)alkyl, (C1-C4)alkoxy, halo] and in-vivo hydrolyzable esters thereof with pharmaceutically acceptable acids, are prepared, and may be used in the prophylaxis and/or treatment of diseases characterized by abnormal cell differentiation and/or cell proliferation. Thus, II was prepared and used in a capsule, dermatol. cream, and an injectable solution

IT 115648-67-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and formulations of novel vitamin D analogs for the treatment of abnormal cell differentiation or cell proliferation diseases)

RN 115648-67-4 CAPLUS

CN 1H-Indene-1-acetaldehyde, 4-[(2E)-[(3S,5R)-3,5-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-methylenecyclohexylidene]ethylidene]octahydro-α,7a-dimethyl-, (αR,1R,3aS,4E,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 69 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2001:115113 CAPLUS
DOCUMENT NUMBER: 134:163204
TITLE: Synthesis of novel vitamin D analogues as pharmaceutical agents
INVENTOR(S): Bretting, Claus Aage Svensgaard
PATENT ASSIGNEE(S): Leo Pharmaceutical Products Ltd. A/S (Lovens Kemiske Fabrik Produktionsaktie, Den.
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001010829	A1	20010215	WO 2000-DK389	20000711 <--
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2381910	A1	20010215	CA 2000-2381910	20000711 <--
EP 1206448	A1	20020522	EP 2000-943703	20000711 <--
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NZ 516913	A	20031128	NZ 2000-516913	20000711
AU 770771	B2	20040304	AU 2000-58072	20000711
AT 265430	T	20040515	AT 2000-943703	20000711
RU 2228928	C2	20040520	RU 2002-105520	20000711
PT 1206448	E	20040831	PT 2000-943703	20000711
CN 1167678	C	20040922	CN 2000-812345	20000711
ES 2216908	T3	20041101	ES 2000-943703	20000711
AT 420354	T	20090115	AT 2000-952321	20000731
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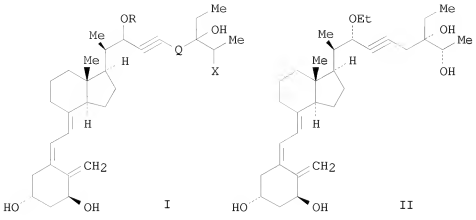
PRIORITY APPLN. INFO.:			
US 1999-147200P	P	19990804	
US 1999-472654	A	19991227	
WO 2000-DK389	W	20000711	

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 134:163204
GI



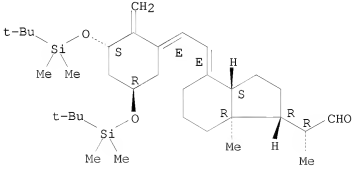
AB Vitamin D analogs of formula I [R = H, alkyl, Ph, aralkyl, etc.; Q = (CH2)n; n = 0-2; X = OH, halogen] are prepared These compds. have been discovered to possess strong activity in inducing differentiation and inhibiting undesirable proliferation of certain cells as well as immunomodulating and anti-inflammatory effects (no data). Thus, II was prepared in several steps from secopregnatrienecarboxaldehyde derivative A capsule and a dermatol. cream containing I is also described.

IT 115648-67-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of novel vitamin D analogs as pharmaceutical agents)

RN 115648-67-4 CAPLUS

CN 1H-Indene-1-acetaldehyde, 4-[(2E)-[(3S,5R)-3,5-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-methylenecyclohexylidene]ethylidene]octahydro-α,7a-dimethyl-, (αR,1R,3aS,4E,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS

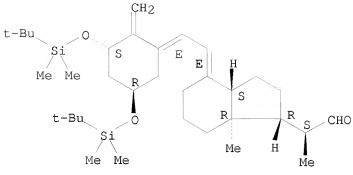
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 69 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2001:113242 CAPLUS
DOCUMENT NUMBER: 134:340601
TITLE: Synthesis and biological activities of a new series of secosteroids: vitamin D phosphonate hybrids
AUTHOR(S): Steinmeyer, A.; Schwarz, K.; Haberey, M.; Langer, G.; Wiesinger, H.
CORPORATE SOURCE: Preclinical Drug Research, Schering AG, Institute of Medicinal Chemistry, Berlin, D-13342, Germany
SOURCE: Steroids (2001), 66(3-5), 257-266
CODEN: STEDAM; ISSN: 0039-128X
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:340601

AB By a structural combination of phosphonate and bisphosphonate moieties with the vitamin D skeleton a series of new vitamin D analogs was synthesized. Derivs. with 24β-hydroxy- or 24-keto groups exerted considerable vitamin D activities in vitro while the hypercalcemic potentials were significantly reduced as compared to 1α,25-dihydroxyvitamin D3 (calcitriol). Whereas the 24-hydroxy analogs did not influence bone formation in vivo in dosages below the hypercalcemic threshold, the 24-ketones were found to induce synthesis of new bone matrix in non-hypercalcemic doses. Vitamin D bisphosphonate hybrids, on the other hand, which did not elicit substantial vitamin D activities in vitro and tend to decrease serum calcium levels in vivo clearly induced osteoid formation in rats, indicating a mechanism of action different to calcitriol.

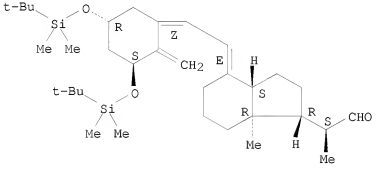
IT 112828-13-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis and biol. activities of vitamin D phosphonate hybrids)
RN 112828-13-4 CAPLUS
CN 1H-Indene-1-acetaldehyde, 4-[(2E)-2-[(3S,5R)-3,5-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-methylenecyclohexylidene]ethylidene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT 112924-91-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and biol. activities of vitamin D phosphonate hybrids)
RN 112924-91-1 CAPLUS
CN 1H-Indene-1-acetaldehyde, 4-[(2Z)-[(3S,5R)-3,5-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-methylenecyclohexylidene]ethylidene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



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REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 69 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2001:78357 CAPLUS
DOCUMENT NUMBER: 134:131708
TITLE: Preparation and bioactivity of vitamin D derivs. with cyclic substructures in the side chains
INVENTOR(S): Steinmeyer, Andreas; Schwarz, Katica; Giesen, Claudia; Haberey, Martin; Fahnrich, Marianne
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 134 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 2001007405	A2	20010201	WO 2000-EP7104	20000724	<--
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BR 2000013175	A	20020402	BR 2000-13175	20000724	<--
EP 1210327	A2	20020605	EP 2000-962278	20000724	<--
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EE 5027	B1	20080616			
US 6603031	B1	20030805	US 2000-624608	20000724	
EP 1362848	A1	20031119	EP 2003-90212	20000724	
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AT 316073	T	20060215	AT 2000-962278	20000724	
ES 2254222	T3	20060616	ES 2000-962278	20000724	
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MX 2001013330	A	20020709	MX 2001-13330	20011219	<--
BG 106334	A	20020628	BG 2002-106334	20020121	<--
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ZA 2002001482	A	20030521	ZA 2002-1482	20020221	
US 20030149006	A1	20030807	US 2002-303916	20021126	
US 7115758	B2	20061003			
IN 2005MN01178	A	20070706	IN 2005-MN1178	20051025	
IN 2005MN01185	A	20070817	IN 2005-MN1185	20051025	

PRIORITY APPLN. INFO.:

DE 1999-19935771	A	19990723
EE 2002-36	A	20000724
EP 2000-962278	A3	20000724
US 2000-624608	A3	20000724
WO 2000-EP7104	W	20000724
IN 2001-MN1587	A3	20011213

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 134:131708
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

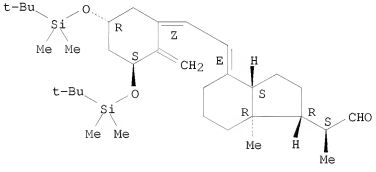
AB The invention describes the synthesis of vitamin D derivs. [I; Y1, Y2 = OH, alkanoyloxy, aroyloxy; R1, R2 = H; R1R2 = CH2; R3, R4 = H, Cl, F, alkyl, etc.; Q = alkylene chain; X1, X2 = H, OH, Cl, F, Br, etc.; Z = (un)substituted, (un)saturated or aromatic 5-, 6-membered carbo-, heterocyclic ring], the intermediates used in the process, and the production of medicaments. Thus, vitamin D analog II was prepared via Wittig reaction of ketone III (also prepared) with IV, followed by deprotection. II had competition factor of 5 vs. calcitriol towards receptor binding and dose relation for differentiation induction in HL 60 cell.

IT 112924-91-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and bioactivity of vitamin D derivs. with cyclic substructures in the side chains)

RN 112924-91-1 CAPLUS

CN 1H-Indene-1-acetaldehyde, 4-[(2Z)-[(3S,5R)-3,5-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-methylenecyclohexylidene]ethylidene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 69 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2000:772606 CAPLUS
DOCUMENT NUMBER: 133:322045
TITLE: Synthesis, activity and formulations of vitamin D analogs

INVENTOR(S): Hansen, Kai
PATENT ASSIGNEE(S): Leo Pharmaceutical Products Ltd. A/S (Lovens Kemiske Fabrik Produktionsaktie, Den.
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000064869	A1	20001102	WO 2000-DK177	20000412 <--
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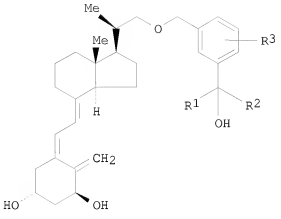
HU 2002000812	A3	20030728		
JP 2002543062	T	20021217	JP 2000-613822	20000412 <--
NZ 515138	A	20031031	NZ 2000-515138	20000412
AT 253555	T	20031115	AT 2000-918712	20000412
AU 768037	B2	20031127	AU 2000-39567	20000412
RU 2223953	C2	20040220	RU 2001-131557	20000412
PT 1178960	E	20040331	PT 2000-918712	20000412
CN 1152011	C	20040602	CN 2000-807644	20000412
ES 2208300	T3	20040616	ES 2000-918712	20000412
US 6537980	B1	20030325	US 2001-959306	20011023
HK 1045497	A1	20041203	HK 2002-107026	20020926

PRIORITY APPLN. INFO.:
GB 1999-9442 A 19990423
US 1999-130638P P 19990423
WO 2000-DK177 W 20000412

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 133:322045
GI



I

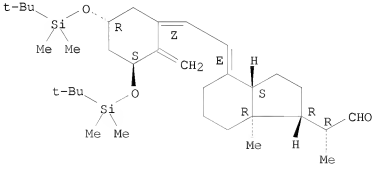
AB Synthesis, activity and formulations of vitamin D analogs (I) (R1 and R2, which may be the same or different, = alkyl; R3 = H, halogen, alkyl, alkoxy) and in-vivo hydrolyzable esters thereof with pharmaceutically acceptable acids is disclosed. Thus, I (R1, R2 = Me, R3 = H) (II) is prepared by reaction of 2-(2-(3-bromomethylphenyl)-2-propyloxy)tetrahydro-4H-pyran with 20(R)-silyl-protected-tosyloxysecopregnatriene followed by desilylation with HF in acetonitrile. II exhibits considerably less skin irritation than compds. of prior art. The present compds. are of value in the human and veterinary practice.

IT 134523-96-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis, activity and formulations of vitamin D analogs)

RN 134523-96-9 CAPLUS

CN 1H-Indene-1-acetaldehyde, 4-[(2Z)-[(3S,5R)-3,5-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-methylenecyclohexylidene]ethylidene]octahydro-α,7a-dimethyl-, (αR,1R,3aS,4E,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



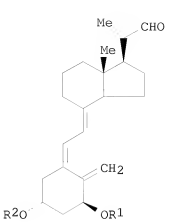
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD

(2 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

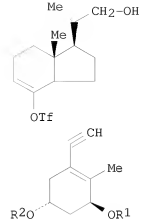
L10 ANSWER 6 OF 69 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2000:464966 CAPLUS
DOCUMENT NUMBER: 133:89679
TITLE: Preparation of vitamin D intermediates
INVENTOR(S): Koga, Masahiro; Minoshima, Toru
PATENT ASSIGNEE(S): Teijin Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000191637	A	20000711	JP 1998-367558	19981224 <--
WO 2001074764	A1	20011011	WO 2000-JP2033	20000330 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2000034564	A	20011015	AU 2000-34564	20000330 <--
EP 1270556	A1	20030102	EP 2000-912984	20000330
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
US 6753435	B1	20040622	US 2002-239778	20020925

PRIORITY APPLN. INFO.: JP 1998-367558 A 19981224
WO 2000-JP2033 A 20000330
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 133:89679; MARPAT 133:89679
GI



I



II III

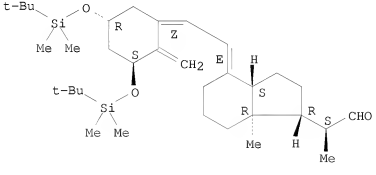
AB Synthetic intermediates I [R1, R2 = H, silyl group, acetal, ester] of 1α-hydroxyvitamin D derivs. are prepared Reacting the compound of formula II and the compound of formula III using a palladium catalyst, then deoxidization with Lindlar catalyst and hydrogen gas, and then heating and oxidation produces compound I. Thus, I (R1 = R2 = tert-butyldimethylsilyl) is prepared

IT 112924-91-1P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of vitamin D intermediates)

RN 112924-91-1 CAPLUS

CN 1H-Indene-1-acetaldehyde, 4-[(2Z)-[(3S,5R)-3,5-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-methylenecyclohexylidene]ethylidene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L10 ANSWER 7 OF 69 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2000:71439 CAPLUS
DOCUMENT NUMBER: 132:237242

TITLE: Synthesis and biological activity of 22-iodo- and (E)-20(22)-dehydro analogues of 1α,25-dihydroxyvitamin D3
AUTHOR(S): Sicinski, Rafal R.; DeLuca, Hector F.
CORPORATE SOURCE: Department of Biochemistry, College of Agricultural and Life Sciences, University of Wisconsin-Madison, Madison, WI, 53706, USA
SOURCE: Bioorganic & Medicinal Chemistry (1999), 7(12), 2877-2889
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

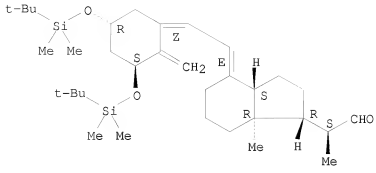
AB Construction of 25-hydroxy-steroidal side chain substituted with iodine at C-22 was elaborated on a model PTAD-protected steroidal 5,7-diene and applied to a synthesis of (22R)- and (22S)-22-iodo-1α,25-dihydroxyvitamin D3. Configuration at C-22 in the iodinated vitamins, obtained by nucleophilic substitution of the corresponding 22S-tosylates with sodium iodide, was determined by comparison of their iodine-displacement processes and cyclizations leading to isomeric five-membered (22,25)-epoxy-1α-hydroxyvitamin D3 compds. Also, 20(22)-dehydrosteroids have been obtained and their structures established by 1H NMR spectroscopy. When compared to the natural hormone, (E)-20(22)-dehydro-1α,25-dihydroxyvitamin D3 was found 4 times less potent in binding to the porcine intestinal vitamin D receptor (VDR) and 2 times less effective in differentiation of HL-60 cells. 22-Iodinated vitamin D analogs showed somewhat lower in vitro activity, whereas (22,25)-epoxy analogs were inactive. Interestingly, it was established that (22S)-22-iodo-1α,25-dihydroxyvitamin D3 was 3 times more potent than its (22R)-isomer in binding to VDR and four times more effective in HL-60 cell differentiation assay. The restricted mobility of the side chain of both 22-iodinated vitamin D compds. was analyzed by a systematic conformational search indicating different spatial regions occupied by their 25-oxygen atoms. Preliminary data on the in vivo calcemic activity of the synthesized vitamin D analogs indicate that (E)-20(22)-dehydro-1α,25-dihydroxyvitamin D3 and 22-iodo-1α,25-dihydroxyvitamin D3 isomers were ca. ten times less potent than the natural hormone 1α,25-(OH)2D3 both in intestinal calcium transport and bone calcium mobilization.

IT 112924-91-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis and biol. activity of 22-iodo- and (E)-20(22)-dehydro analogs of 1α,25-dihydroxyvitamin D3)

RN 112924-91-1 CAPLUS

CN 1H-Indene-1-acetaldehyde, 4-[(2Z)-[(3S,5R)-3,5-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-methylenecyclohexylidene]ethylidene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



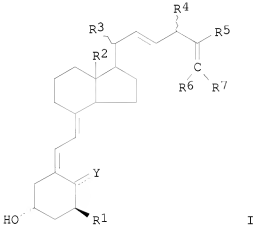
OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 69 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1999:764017 CAPLUS
DOCUMENT NUMBER: 132:3501
TITLE: Preparation of hydroxy-25-ene-vitamin D compounds
INVENTOR(S): Wynberg, Hans; Vries, Ton; Pouwer, Kees
PATENT ASSIGNEE(S): Bone Care International, Inc., USA
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9961417	A2	19991202	WO 1999-US11950	19990528 <--
WO 9961417	A3	20000113		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2333256	A1	19991202	CA 1999-2333256	19990528 <--
AU 9943209	A	19991213	AU 1999-43209	19990528 <--
AU 755701	B2	20021219		
BR 9910692	A	20010109	BR 1999-10692	19990528 <--
EP 1091936	A2	20010418	EP 1999-953335	19990528 <--
EP 1091936	B1	20030730		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002516306	T	20020604	JP 2000-550823	19990528 <--
AT 246172	T	20030815	AT 1999-953335	19990528
ES 2204165	T3	20040416	ES 1999-953335	19990528
CN 1148347	C	20040505	CN 1999-806788	19990528

IL 139354	A	20050831	IL 1999-139354		19990528
MX 2000011210	A	20010419	MX 2000-11210		20001115 <--
US 6441207	B1	20020827	US 2000-716316		20001120 <--
US 20030009042	A1	20030109	US 2002-228002		20020826
PRIORITY APPLN. INFO.:			US 1998-87222P	P	19980529
			WO 1999-US11950	W	19990528
			US 2000-716316	A3	20001120

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 132:3501
GI



I

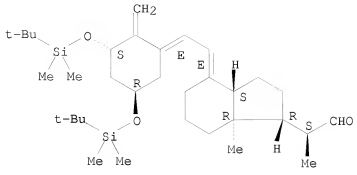
AB Novel vitamin D compds., e.g. of formula I [Y = Me, CH2, H; R1 = H, OH; R2 = H, alkyl, fluoroalkyl; R3, R6, R7 = H, alkyl, alkenyl, fluoroalkyl, fluoroalkenyl; R4, R5 = alkyl, alkenyl, fluoroalkyl, fluoroalkenyl], in which the C-25 or equivalent position has a double bond, are prepared In addition, the side chain is optionally extended by one or two methylene or methyne groups. The compds. prepared by the method of the present invention are of value as prodrugs for active 1α,24-dihydroxylated vitamin D compds (no data). Thus, 1α-hydroxy-25-ene-vitamin D2 was prepared from Et dimethylacrylate and vitamin D2 in many steps.

IT 112828-13-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of hydroxy-25-ene-vitamin D compds. as prodrugs)

RN 112828-13-4 CAPLUS

CN 1H-Indene-1-acetaldehyde, 4-[(2E)-2-[(3S,5R)-3,5-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-methylenecyclohexylidene]ethylidene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



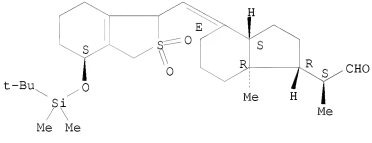
OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 69 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1999:763999 CAPLUS
DOCUMENT NUMBER: 132:12446
TITLE: synthesis and biological activity of 24-hydroxyvitamin D and analogs
INVENTOR(S): Bishop, Charles W.; Knutson, Joyce C.; Strugnell, Stephen
PATENT ASSIGNEE(S): Bone Care International, USA
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 20
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9961398	A2	19991202	WO 1999-US12084	19990528 <--
WO 9961398	A3	20001123		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6242434	B1	20010605	US 1998-86969	19980529 <--
CA 2332146	A1	19991202	CA 1999-2332146	19990528 <--
EP 1080055	A2	20010307	EP 1999-953332	19990528 <--
EP 1080055	B1	20030924		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002516299	T	20020604	JP 2000-550810	19990528 <--
AU 757740	B2	20030306	AU 1999-43243	19990528
AT 250566	T	20031015	AT 1999-953332	19990528
NZ 507855	A	20031128	NZ 1999-507855	19990528
IL 139355	A	20050831	IL 1999-139355	19990528

MX 2000011214 A 20010419 MX 2000-11214 20001115 <--
PRIORITY APPLN. INFO.: US 1998-86969 A 19980529
US 1997-907659 A2 19970808
WO 1999-US12084 W 19990528
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 132:12446
AB Synthesis of 24-hydroxyvitamin D compds. and their use in the treatment and prophylaxis of hyperparathyroidism and hyperproliferative diseases, and in the modulation of the immune and inflammatory responses as well as the treatment of bone depletive disorders is disclosed.
IT 251445-18-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and biol. activity of 24-hydroxyvitamin D and analogs)
RN 251445-18-8 CAPLUS
CN 1H-Indene-1-acetaldehyde, 4-[[(4S)-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1,3,4,5,6,7-hexahydro-2,2-dioxidobenzo[c]thien-1-yl]methylene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 10 OF 69 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1999:404974 CAPLUS
DOCUMENT NUMBER: 131:59020
TITLE: Preparation of vitamin D derivatives with phosphorous atoms in the side chains
INVENTOR(S): Steinmeyer, Andreas; Neef, Gunter; Kirsch, Gerald; Schwarz, Katica; Wiesinger, Herbert; Haberey, Martin; Fahnrich, Marianne; Langer, Gernot
PATENT ASSIGNEE(S): Schering A.-G., Germany
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931112	A1	19990624	WO 1998-EP8137	19981216 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 927721	A1	19990707	EP 1997-250374	19971217 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
DE 19758119	C1	19990729	DE 1997-19758119	19971217 <--
AU 9924134	A	19990705	AU 1999-24134	19981216 <--
EP 1042335	A1	20001011	EP 1998-966616	19981216 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002508383	T	20020319	JP 2000-539035	19981216 <--
US 6531459	B1	20030311	US 2000-581907	20000804
PRIORITY APPLN. INFO.:			DE 1997-19758119 A	19971217
			EP 1997-250374 A	19971217
			WO 1998-EP8137 W	19981216

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 131:59020
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to novel vitamin D derivs. I [Y1 = H, OH, F, Cl, Br, O2CR5; Y2 = H, COR6; Y2O = α- or β- bond; R1, R2 = H; R1R2 = CH2; R3, R4 = H, Cl, F, C1-4-alkyl; R3R4 = CH2; R3R4-C(20) = saturated or unsatd. C3-7-cycloalkyl; R5, R6 = C1-12-alkyl, aryl; VW = bond; V = W = OH; V = OH, W = H; X1, X2 = H, OH, OR7, O2CR7, PO(OR8)2, PO(NR82)2, PO(R8)2, OPO(OR8)2, OPO(NR82)2, OPO(R8)2, CH2PO(OR8)2, CH2PO(NR82)2, CH2PO(R8)2; R7 = C1-12-alkyl, aryl; R8 = H, C1-12-alkyl, aryl;; X1X2 = O; n = 0, 1; E1 = PO(OR9)2, PO(NR92)2, PO(R9)2, CO2R9; R9 = H, C1-12-alkyl, aryl; E2 = PO(OR9)2, PO(NR92)2, PO(R9)2, CO2R9, F, Cl, Br, H, C1-12-alkyl, aryl; Q = H, C1-12-alkyl, aryl, OH, O2CR10, F, Cl, Br, NH2, NHR10, N(R10)2; R10 = C1-12-alkyl, aryl; X1E2 = bond, X2 = H, OZ; Z = C1-12-alkyl, aryl, C1-12-acyl, aroyl, E2; X1X2E2Q = triple bond], a method for their production, intermediate products of the method as well as their use in producing medicaments. Thus, vitamin D analog II was prepared from aldehyde III (TBDMS = SiMe2CMe3), via photochem. E/Z-isomerization, Horner-Emmons reaction with (MeO)2P(O)CH2CO2Me, condensation of unsatd. ester IV with MeP(O)(OMe)2 and desilylation with Dowex ion-exchange resin. II has an affinity for calcitriol receptors (competition factor = 10) and shows differentiation induction for HL-60 cells [DR50 = 22] and hypercalcemia induction [DR50 = >>100].

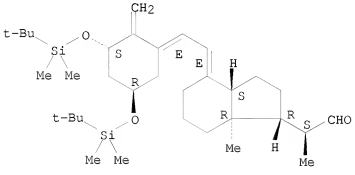
IT 112828-13-4, (1S,3R,5E,7E)-1,3-Bis[(tert-butyldimethylsilyl)oxy]9,10-secopregna-5,7,10(19)-triene-20-carboxaldehyde

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and bioactivity of vitamin D derivs. with phosphorous atoms in the side chains)

RN 112828-13-4 CAPLUS

CN 1H-Indene-1-acetaldehyde, 4-[(2E)-2-[(3S,5R)-3,5-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-methylenecyclohexylidene]ethylidene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

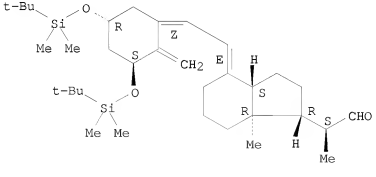


IT 112924-91-1P, (1S,3R,5Z,7E)-1,3-Bis[(tert-butyldimethylsilyl)oxy]9,10-secopregna-5,7,10(19)-triene-20-carboxaldehyde 227748-28-9P 227748-29-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and bioactivity of vitamin D derivs. with phosphorous atoms in the side chains)

RN 112924-91-1 CAPLUS

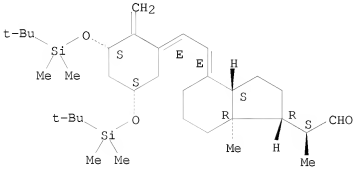
CN 1H-Indene-1-acetaldehyde, 4-[(2Z)-[(3S,5R)-3,5-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-methylenecyclohexylidene]ethylidene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



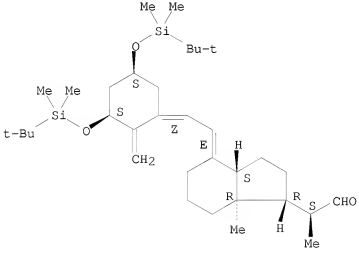
RN 227748-28-9 CAPLUS
CN 1H-Indene-1-acetaldehyde, 4-[(2E)-2-[(3S,5S)-3,5-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-methylenecyclohexylidene]ethylidene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 227748-29-0 CAPLUS
CN 1H-Indene-1-acetaldehyde, 4-[(2Z)-2-[(3S,5S)-3,5-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-methylenecyclohexylidene]ethylidene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=> d 59-69 ibib abs hitstr
THE ESTIMATED COST FOR THIS REQUEST IS 63.91 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L10  ANSWER 59 OF 69  CAPLUS  COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER:         1990:119244  CAPLUS
DOCUMENT NUMBER:          112:119244
ORIGINAL REFERENCE NO.:   112:20215a,20218a
TITLE:                    Vitamin D homologs for treatment
                          of neoplastic diseases
INVENTOR(S):              Deluca, Hector F.; Schnoes, Heinrich K.; Perlman, Kato
                          L.
PATENT ASSIGNEE(S):       Wisconsin Alumni Research Foundation, USA
SOURCE:                   Brit. UK Pat. Appl., 35 pp.
                          CODEN: BAXXDU
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.            KIND   DATE        APPLICATION NO.          DATE
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     GB 2217716             A     19891101    GB 1989-9573             19890426 <--
     WO 8910352             A1    19891102    WO 1989-US1632           19890418 <--
         W:  AU, CH, DE, DK, GB, HU, JP, KR, NL, SU
         RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE
     AU 8935533             A     19891124    AU 1989-35533            19890418 <--
     AU 629831              B2    19921015
     NL 8920392             A     19900402    NL 1989-20392            19890418 <--
     EP 374219              A1    19900627    EP 1989-905565           19890418 <--
         R:  AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE
     HU 52476               A2    19900728    HU 1989-4747             19890418 <--
     HU 206316              B     19921028
     JP 02504149            T     19901129    JP 1989-505246           19890418 <--
     JP 06099454            B     19941207
     RU 2057117             C1    19960327    RU 1989-4742994          19890418 <--
     IL 90065               A     19960514    IL 1989-90065            19890424 <--
     FR 2630739             A1    19891103    FR 1989-5752             19890428 <--
     IN 169818              A1    19911228    IN 1989-DE384            19890501 <--
     DK 8906659             A     19900228    DK 1989-6659             19891222 <--
     US 5250523             A     19931005    US 1990-481993           19900214 <--
     US 5354744             A     19941011    US 1992-999537           19921231 <--
PRIORITY APPLN. INFO.:                         US 1988-187675      A    19880429
                                               WO 1989-US1632      A    19890418
                                               US 1989-428139      B2   19891030
                                               US 1990-488465      B1   19900226
OTHER SOURCE(S):          MARPAT 112:119244
GI
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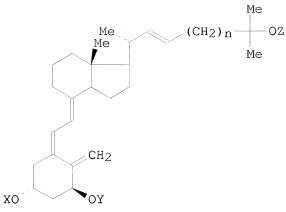

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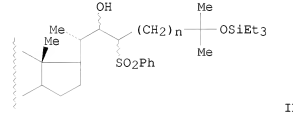


II

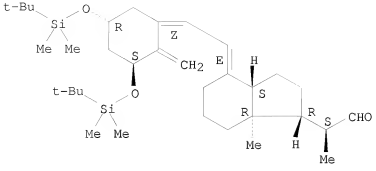
AB Title steroids I (X, Y, Z = H or hydroxy-protecting group; n = 3, 4) were prepared as cell differentiation-inducing agents for treatment of neoplastic diseases. Thus, I (X = Y = Z = H; n = 3 and 4) were prepared from 3β-acetoxy-22,23-bisnor-5-cholenic acid in 15 steps via the aldehyde-sulfone reaction products II (X = Y = SiMe2CMe3). In tests for differentiation of HL-60 human leukemia cells in culture, I (X = Y = Z = H; n = 3) was approx. 5 times as potent as 1α,25-dihydroxyvitamin D3, but was many times less potent in its calcemic activity.

IT 112924-91-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of antineoplastic vitamin D homologs)

RN 112924-91-1 CAPLUS

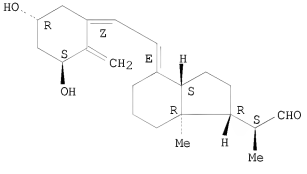
CN 1H-Indene-1-acetaldehyde, 4-[(2Z)-[(3S,5R)-3,5-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-methylenecyclohexylidene]ethylidene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



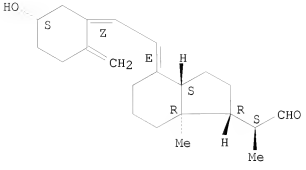
IT 111024-92-1P 116391-23-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, in preparation of antineoplastic vitamin D homologs)
RN 111024-92-1 CAPLUS
CN 1H-Indene-1-acetaldehyde, 4-[(2Z)-[(3S,5R)-3,5-dihydroxy-2-methylenecyclohexylidene]ethylidene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



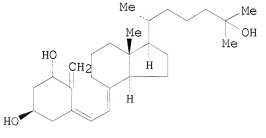
RN 116391-23-2 CAPLUS
CN 1H-Indene-1-acetaldehyde, octahydro-4-[(5-hydroxy-2-methylenecyclohexylidene)ethylidene]-α,7a-dimethyl-, [1R-[1α(S*),3aβ,4E(1Z,5S*),7aα]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L10 ANSWER 60 OF 69 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1990:70146 CAPLUS
DOCUMENT NUMBER: 112:70146
ORIGINAL REFERENCE NO.: 112:11791a,11794a
TITLE: 24-Homologated 1,25-dihydroxyvitamin D3 compounds: separation of calcium and cell differentiation activities
AUTHOR(S): Perlman, Kato; Kutner, Andrzej; Prahl, Jean; Smith, Connie; Inaba, Masaaki; Schnoes, Heinrich K.; DeLuca, H. F.
CORPORATE SOURCE: Coll. Agric. Life Sci., Univ. Wisconsin, Madison, WI, 53706, USA
SOURCE: Biochemistry (1990), 29(1), 190-6
CODEN: BICHAW; ISSN: 0006-2960
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB A series of 24-homologated 1,25-dihydroxyvitamin D3 (I) compds. was synthesized and studied with regard to their activity in inducing differentiation of human promyelocyte HL-60 cells to monocytes and in Ca-mobilizing activity in vitamin D-deficient rats. Homologation of I or its Δ22 analog by 1 or 2 carbons increases by 10-fold and 3-carbon homologation reduces by 50% the activity causing differentiation of HL-60. On the other hand, homologation causes a substantial decrease in in vivo calcium mobilization activity. The addition

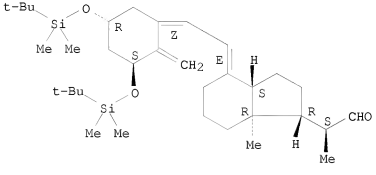
of each carbon at the 24-position decreases binding to the HL-60 receptor or rat intestinal receptor by 5-10-fold so that binding affinity of the trihomo compound for the receptors is 130-times less that of I. Thus, binding affinity for the receptor cannot account for the preferential activity of the 24-homologated compds. in inducing cell differentiation.

IT 112924-91-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with triethylsiloxyalkyl phenylsulfones)

RN 112924-91-1 CAPLUS

CN 1H-Indene-1-acetaldehyde, 4-[(2Z)-[(3S,5R)-3,5-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-methylenecyclohexylidene]ethylidene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



OS.CITING REF COUNT: 33 THERE ARE 33 CAPLUS RECORDS THAT CITE THIS RECORD (33 CITINGS)

L10 ANSWER 61 OF 69 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER:	1990:36264 CAPLUS
DOCUMENT NUMBER:	112:36264
ORIGINAL REFERENCE NO.:	112:6289a,6292a
TITLE:	Preparation of secosteroid intermediates for vitamin D-related compounds
INVENTOR(S):	DeLuca, Hector F.; Schnoes, Heinrich K.; Kutner, Andrzej; Perlman, Kato L.; Sicinski, Rafal R.; Phelps, Mary E.
PATENT ASSIGNEE(S):	Wisconsin Alumni Research Foundation, USA
SOURCE:	U.S., 11 pp. CODEN: USXXAM
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4847012	A	19890711	US 1988-188334	19880429 <--
PRIORITY APPLN. INFO.:			US 1988-188334	19880429

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 112:36264; MARPAT 112:36264
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

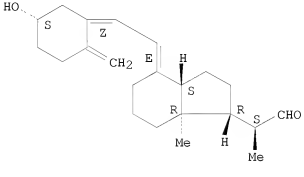
AB Secosteroids I (X, Y = H, protecting group; W = CHO, alkoxycarbonyl, aryloxycarbonyl), useful as intermediates for vitamin D derivs. which are useful for control of Ca and phosphate metabolism, are prepared Irradiation of pregnadienecarboxylate II (preparation given) in benzene-Et2O with a Hanovia 608A36 medium-pressure UV lamp for 40 min gave the hydroxy secosteroid III, which was converted to the O-tosyl derivative, which was then cyclized in CH2Cl2 with methanolic KHCO3 at 55° to give the cyclosecosteroid IV (Z = H). This was treated with Me3COOH and SeO2 in toluene-CH2Cl2 to give IV (Z = OH), which was heated with HOAc at 55° to give I (X = Ac, Y = H, W = CO2Me) and its 5(E)-isomer. Conversions of the above I into I [X, Y = H, protecting group; W = (R)-CH:CHCHMeCMe2OH] and their derivs. are also described.

IT 116391-23-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of vitamin D analogs)

RN 116391-23-2 CAPLUS

CN 1H-Indene-1-acetaldehyde, octahydro-4-[(5-hydroxy-2-methylenecyclohexylidene)ethylidene]-α,7a-dimethyl-, [1R-[1α(S*),3aβ,4E(1Z,5S*),7aα]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

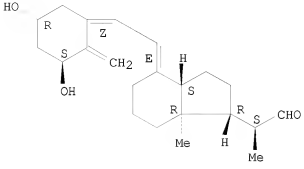


IT 111024-92-1P 112924-91-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, from acetoxybisnorcholenic acid)

RN 111024-92-1 CAPLUS

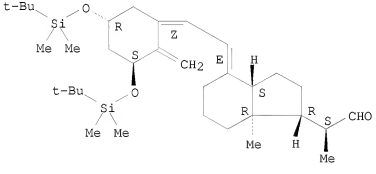
CN 1H-Indene-1-acetaldehyde, 4-[(2Z)-[(3S,5R)-3,5-dihydroxy-2-methylenecyclohexylidene]ethylidene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 112924-91-1 CAPLUS
CN 1H-Indene-1-acetaldehyde, 4-[(2Z)-[(3S,5R)-3,5-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-methylenecyclohexylidene]ethylidene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (9CI) (CA INDEX NAME)

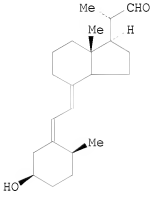
Absolute stereochemistry.
Double bond geometry as shown.



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 62 OF 69 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1988:549862 CAPLUS
DOCUMENT NUMBER: 109:149862
ORIGINAL REFERENCE NO.: 109:24943a,24946a
TITLE: Vitamin D C-22 aldehydes. New key intermediates for the synthesis of side chain modified vitamin D analogs
AUTHOR(S): Kutner, Andrzej; Perlman, Kato L.; Sicinski, Rafal R.; Phelps, Mary E.; Schnoes, Heinrich K.; DeLuca, Hector F.
CORPORATE SOURCE: Coll. Agric. Life Sci., Univ. Wisconsin, Madison, WI,

53706, USA
SOURCE: Tetrahedron Letters (1987), 28(49), 6129-32
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:149862
GI



I

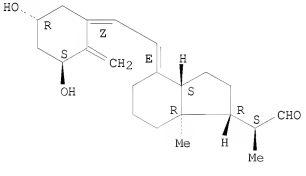
AB Vitamin D C-22 aldehyde (I) and 1α-hydroxyvitamin D C-22 aldehyde were prepared from 22,23-bisnorcholenic acid. The usefulness of the compds. as common intermediates for the synthesis of side chain modified analogs of vitamins D2 and D3 was demonstrated.

IT 111024-92-1P 116391-23-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 111024-92-1 CAPLUS

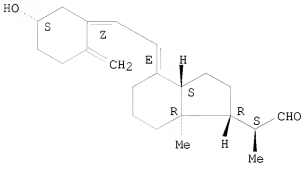
CN 1H-Indene-1-acetaldehyde, 4-[(2Z)-[(3S,5R)-3,5-dihydroxy-2-methylenecyclohexylidene]ethylidene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



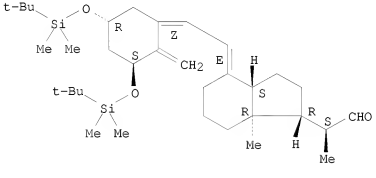
RN 116391-23-2 CAPLUS
CN 1H-Indene-1-acetaldehyde, octahydro-4-[(5-hydroxy-2-methylenecyclohexylidene)ethylidene]-α,7a-dimethyl-, [1R-[1α(S*),3aβ,4E(1Z,5S*),7aα]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT 112924-91-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, in synthesis of vitamin D analogs)
RN 112924-91-1 CAPLUS
CN 1H-Indene-1-acetaldehyde, 4-[(2Z)-[(3S,5R)-3,5-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-methylenecyclohexylidene]ethylidene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

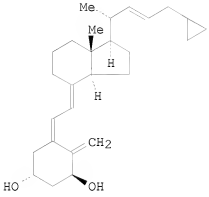


OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L10 ANSWER 63 OF 69 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1988:473746 CAPLUS
DOCUMENT NUMBER: 109:73746
ORIGINAL REFERENCE NO.: 109:12365a,12368a
TITLE: Synthesis of MC 903, a biologically active

vitamin D metabolite analog

AUTHOR(S): Calverley, Martin J.
CORPORATE SOURCE: Leo Pharm. Prod., Ballerup, DK-2750, Den.
SOURCE: Tetrahedron (1987), 43(20), 4609-19
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:73746
GI



I

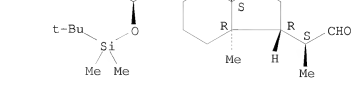
AB MC 903 (I), a 1,24-dihydroxyvitamin D analog, was synthesized in 12 steps from vitamin D2.

IT 112828-13-4P 115648-65-2P 115648-66-3P
115648-67-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, during synthesis of analogs of vitamin D metabolites)

RN 112828-13-4 CAPLUS

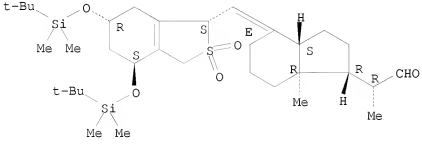
CN 1H-Indene-1-acetaldehyde, 4-[(2E)-2-[(3S,5R)-3,5-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-methylenecyclohexylidene]ethylidene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



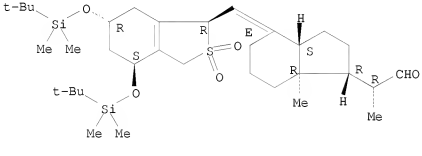
RN 115648-65-2 CAPLUS
CN 1H-Indene-1-acetaldehyde, 4-[[(1S,4S,6R)-4,6-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1,3,4,5,6,7-hexahydro-2,2-dioxidobenzo[c]thien-1-yl]methylene]octahydro-α,7a-dimethyl-, (αR,1R,3aS,4E,7aR)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



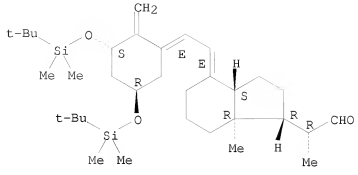
RN 115648-66-3 CAPLUS
CN 1H-Indene-1-acetaldehyde, 4-[[(1R,4S,6R)-4,6-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1,3,4,5,6,7-hexahydro-2,2-dioxidobenzo[c]thien-1-yl]methylene]octahydro-α,7a-dimethyl-, (αR,1R,3aS,4E,7aR)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 115648-67-4 CAPLUS
CN 1H-Indene-1-acetaldehyde, 4-[(2E)-[(3S,5R)-3,5-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-methylenecyclohexylidene]ethylidene]octahydro-α,7a-dimethyl-, (αR,1R,3aS,4E,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



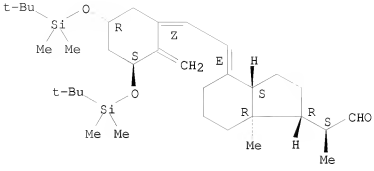
OS.CITING REF COUNT: 60 THERE ARE 60 CAPLUS RECORDS THAT CITE THIS RECORD (60 CITINGS)

L10 ANSWER 64 OF 69 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1988:455060 CAPLUS
DOCUMENT NUMBER: 109:55060
ORIGINAL REFERENCE NO.: 109:9299a,9302a
TITLE: Novel convergent synthesis of side-chain-modified analogs of 1α,25-dihydroxycholecalciferol and 1α,25-dihydroxyergocalciferol
AUTHOR(S): Kutner, Andrzej; Perlman, Kato L.; Lago, Amparo; Schnoes, Heinrich K.; DeLuca, H. F.; Sicinski, Rafal R.
CORPORATE SOURCE: Coll. Agric. Life Sci., Univ. Wisconsin, Madison, WI, 53706, USA
SOURCE: Journal of Organic Chemistry (1988), 53(15), 3450-7
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:55060
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A convergent synthesis of vitamin D3 analogs such as I (active in differentiation of human leukemia HL 60 cells with diminished calcemic activity) was developed, via the common intermediate II.
IT 112924-91-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, in synthesis of vitamin D3 analogs)
RN 112924-91-1 CAPLUS
CN 1H-Indene-1-acetaldehyde, 4-[(2Z)-[(3S,5R)-3,5-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-methylenecyclohexylidene]ethylidene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



OS.CITING REF COUNT: 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS RECORD (23 CITINGS)

L10 ANSWER 65 OF 69 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1988:180270 CAPLUS
DOCUMENT NUMBER: 108:180270
ORIGINAL REFERENCE NO.: 108:29437a,29440a
TITLE: Analogs of the hormonal form of vitamin D and their possible use in leukemia
AUTHOR(S): DeLuca, Hector F.; Ostrem, Voula K.
CORPORATE SOURCE: Dep. Biochem., Univ. Wisconsin, Madison, WI, 53706, USA
SOURCE: Progress in Clinical and Biological Research (1988), 259(Nutr., Growth, Cancer), 41-55
CODEN: PCBRD2; ISSN: 0361-7742
DOCUMENT TYPE: Journal
LANGUAGE: English

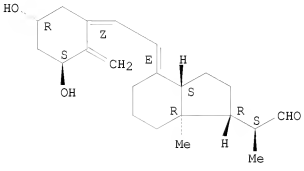
AB After a review of the mol. mechanism of action of 1,25-dihydroxyvitamin D3 (1,25-(OH)2D3), its possible role in tissues not previously believed to be targets of its action, the presence of 1,25-(OH)2D3 receptors in cancer cell lines, and 1,25-(OH)2D3-induced differentiation of the stem cells of myeloid cell lines, a large analog study was concluded that suggests that specific analogs of 1,25-(OH)2D3 can be prepared that have markedly enhanced activity in promoting differentiation of HL-60 promyelocytes to benign monocytes. Lengthening the side chain of 1,25-(OH)2D3 increased the activity in HL-60 cells by 1 order of magnitude when the side chain was increased in length by 1 C. At the same time, the biol. activity of these compds. in serum Ca2+ elevation was either unchanged or diminished. Thus, lengthening the side chain may well provide a preferentially active form of vitamin D on the promyelocytes. Shortening the side chain resulted in a 10-fold loss of activity in HL-60 cells for each C removed. Furthermore, elimination of the 26- and 27-C atoms decreased the biol. activity by 100-fold. If, however, the OH was left off the side chain and small hydrocarbon side chains of Et or Iso-Pr were substituted, very high activity in HL-60 cells was achieved without activity in mobilizing Ca2+ in vivo. Therefore, these are compds. which illustrate at least in vitro specific activity in HL-60 cells.

IT 111024-92-1
RL: BIOL (Biological study)
(leukemia cell inhibition by, structure in relation to)

RN 111024-92-1 CAPLUS

CN 1H-Indene-1-acetaldehyde, 4-[(2Z)-[(3S,5R)-3,5-dihydroxy-2-methylenecyclohexylidene]ethylidene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L10 ANSWER 66 OF 69 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1988:112839 CAPLUS
DOCUMENT NUMBER: 108:112839
ORIGINAL REFERENCE NO.: 108:18504h,18505a
TITLE: Vitamin D analogs for the treatment of disorders characterized by abnormal proliferation and/or differentiation of cells, processes for their preparation, and their pharmaceutical formulations
INVENTOR(S): Calverley, Martin John; Binderup, Ernst Torndal
PATENT ASSIGNEE(S): Leo Pharmaceutical Products Ltd., Den.
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8700834	A1	19870212	WO 1986-DK81	19860714 <--
W: AU, DK, JP, KR, US				
RW: BE, DE, FR, GB, IT, LU, NL, SE				
AU 8661961	A	19870305	AU 1986-61961	19860714 <--
AU 603340	B2	19901115		
EP 227826	A1	19870708	EP 1986-904788	19860714 <--
EP 227826	B1	19891025		
R: BE, DE, FR, GB, IT, LU, NL, SE				
JP 63500661	T	19880310	JP 1986-504410	19860714 <--
JP 07100685	B	19951101		
CA 1307288	C	19920908	CA 1986-515024	19860730 <--
ES 2000823	A6	19880316	ES 1986-822	19860801 <--

US 4866048	A	19890912	US 1987-34391		19870318 <--
DK 8701429	A	19870320	DK 1987-1429		19870320 <--
DK 166617	B1	19930621			
PRIORITY APPLN. INFO.:			GB 1985-19502	A	19850802
			WO 1986-DK81	A	19860714

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 108:112839
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

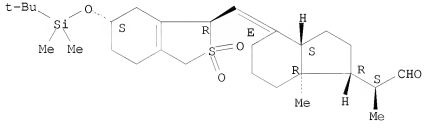
AB Title analogs I [X = H, C1-6 alkyl, halo, OH; Y = H, OH; R1, R2 = C1-6 alkyl (un)substituted by halo or OH; CR1R2 = (un)saturated (un)substituted by C1-6 alkyl, halo, or OH; both R1 and R2 ≠ Me when X ≠ C1-6 alkyl; R3 = H, C1-6 alkyl; R4 = R5 = H; R4R5 = bond] are prepared for treating disorders of cell proliferation and/or differentiation (no data). Formylsecopregnatriene derivative II underwent Wittig reaction with (cyclopropylcarbonylmethylene)triphenylphosphorane in Me2SO, followed by reduction with NaAlH(OCH2CH2OMe)2 in THF, photolytic isomerization in PhMe containing Et3N and anthracene, and desilylation with Bu4N+F-, to give I [X R3 = H, Y = OH, R1R2 = (CH2)2, R4R5 = trans double bond] (1 of 2 epimers, separated at reduction step).

IT 87407-47-4P 87422-13-7P 112670-80-1P
112790-51-9P 112828-12-3P 112828-13-4P
112924-91-1P 112924-92-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in synthesis of vitamin D analogs)

RN 87407-47-4 CAPLUS

CN 1H-Indene-1-acetaldehyde, 4-[[(1R,6S)-6-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1,3,4,5,6,7-hexahydro-2,2-dioxidobenzo[c]thien-1-yl]methylene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

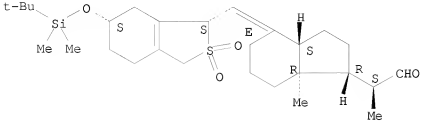


RN 87422-13-7 CAPLUS

CN 1H-Indene-1-acetaldehyde, 4-[[(1S,6S)-6-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1,3,4,5,6,7-hexahydro-2,2-dioxidobenzo[c]thien-1-yl]methylene]octahydro-α,7a-dimethyl-,

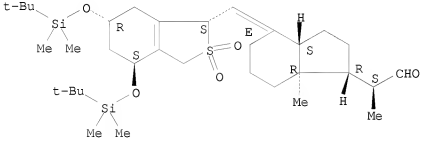
(αS,1R,3aS,4E,7aR)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



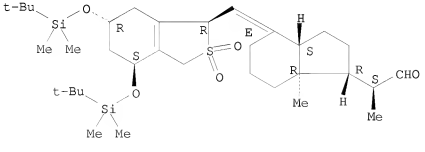
RN 112670-80-1 CAPLUS
CN 1H-Indene-1-acetaldehyde, 4-[[(1S,4S,6R)-4,6-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1,3,4,5,6,7-hexahydro-2,2-dioxidobenzo[c]thien-1-yl]methylene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



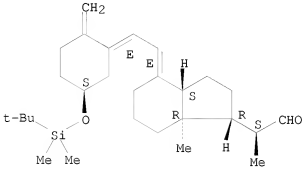
RN 112790-51-9 CAPLUS
CN 1H-Indene-1-acetaldehyde, 4-[[(1R,4S,6R)-4,6-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1,3,4,5,6,7-hexahydro-2,2-dioxidobenzo[c]thien-1-yl]methylene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



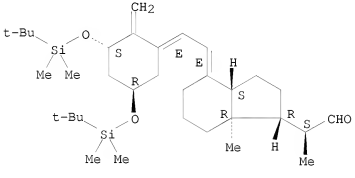
RN 112828-12-3 CAPLUS
CN 1H-Indene-1-acetaldehyde, 4-[(2E)-[(5S)-5-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-methylenecyclohexylidene]ethylidene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



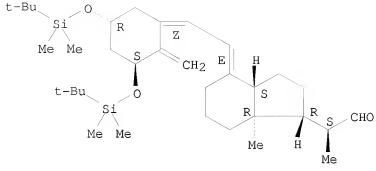
RN 112828-13-4 CAPLUS
CN 1H-Indene-1-acetaldehyde, 4-[(2E)-2-[(3S,5R)-3,5-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-methylenecyclohexylidene]ethylidene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



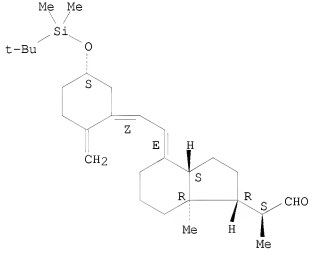
RN 112924-91-1 CAPLUS
CN 1H-Indene-1-acetaldehyde, 4-[(2Z)-[(3S,5R)-3,5-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-methylenecyclohexylidene]ethylidene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 112924-92-2 CAPLUS
CN 1H-Indene-1-acetaldehyde, 4-[(2Z)-2-[(5S)-5-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-methylenecyclohexylidene]ethylidene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



OS.CITING REF COUNT: 29 THERE ARE 29 CAPLUS RECORDS THAT CITE THIS RECORD (34 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 67 OF 69 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1987:591147 CAPLUS
DOCUMENT NUMBER: 107:191147
ORIGINAL REFERENCE NO.: 107:30477a,30480a
TITLE: Induction of monocytic differentiation of HL-60 cells by 1,25-dihydroxyvitamin D analogs
AUTHOR(S): Ostrem, Voula K.; Lau, Wan Fang; Lee, Seok Ho; Perlman, Kato; Prahl, Jean; Schnoes, Heinrich K.;

DeLuca, Hector F.; Ikekawa, Nobuo
CORPORATE SOURCE: Dep. Biochem., Univ. Wisconsin, Madison, WI, 53706, USA
SOURCE: Journal of Biological Chemistry (1987), 262(29), 14164-71
CODEN: JBCHA3; ISSN: 0021-9258
DOCUMENT TYPE: Journal
LANGUAGE: English

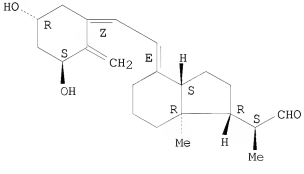
AB The relative activity of 30 analogs of 1,25-dihydroxyvitamin D3 in inducing development of monocytic markers was assessed in HL-60 cells. The 3 differentiation markers assayed were nonspecific acid esterase activity, nitro blue tetrazolium-reducing activity, and phagocytic capacity. Of the known metabolites of vitamin D, 1,25-dihydroxyvitamin D3 was the most active; 50% of the cells exhibited the mature phenotype following a 4-day treatment with 10-8M 1,25-dihydroxyvitamin D3. Removal of either the C-1 or C-25-OH group reduced activity by 2 orders of magnitude, whereas epimerization of the 1α- to 1β-OH group virtually abolished activity. Elongation of the steroidal side chain of 1,25-dihydroxyvitamin D3 by addition of 1 C atom at C-24 or C-26 improved the potency by an order of magnitude. Truncation of the steroidal side chain led to a 10-fold reduction in activity for each C atom removed. Elimination of the C-26 and C-27 Me groups reduced activity 100-fold. Analogs with short aliphatic side chains as 1α-hydroxyhomo- and bishomopregnacholecalciferol had surprisingly high activity, being only 20-fold less potent than the natural hormone. The activity of most analogs in the HL-60 system paralleled their known relative affinities for the well-characterized 1,25-dihydroxyvitamin D3 receptor in chick intestine, thus providing further evidence that this function of 1,25-dihydroxyvitamin D3 is receptor mediated.

IT 111024-92-1
RL: BIOL (Biological study)
(monocytic differentiation induction by, structure in relation to)

RN 111024-92-1 CAPLUS

CN 1H-Indene-1-acetaldehyde, 4-[(2Z)-[(3S,5R)-3,5-dihydroxy-2-methylenecyclohexylidene]ethylidene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



OS.CITING REF COUNT: 33 THERE ARE 33 CAPLUS RECORDS THAT CITE THIS RECORD (33 CITINGS)

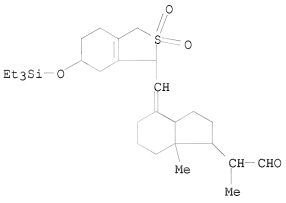
L10 ANSWER 68 OF 69 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1983:595277 CAPLUS
DOCUMENT NUMBER: 99:195277
ORIGINAL REFERENCE NO.: 99:30071a,30074a
TITLE: 1-Hydroxylated vitamin D compounds
INVENTOR(S): Hesse, Robert Henry
PATENT ASSIGNEE(S): Research Institute for Medicine and Chemistry, Inc., USA
SOURCE: Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 78705	A1	19830511	EP 1982-305822	19821102 <--
EP 78705	B1	19880427		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
GB 2108506	A	19830518	GB 1982-31300	19821102 <--
GB 2108506	B	19850807		
JP 58126862	A	19830728	JP 1982-191956	19821102 <--
JP 03053299	B	19910814		
ZA 8208012	A	19830928	ZA 1982-8012	19821102 <--
IL 67152	A	19860731	IL 1982-67152	19821102 <--
CA 1221707	A1	19870512	CA 1982-414662	19821102 <--
AT 33828	T	19880515	AT 1982-305822	19821102 <--
US 4554105	A	19851119	US 1984-648309	19840907 <--
US 4772433	A	19880920	US 1986-827553	19860210 <--

PRIORITY APPLN. INFO.:		
GB 1981-33019	A	19811102
GB 1981-33021	A	19811102
GB 1981-33018	A	19811102
EP 1982-305822	A	19821102
US 1982-438603	A1	19821102
US 1982-438604	A1	19821102
US 1984-568620	A1	19840106
US 1984-568891	A1	19840106
US 1984-650891	A1	19840917

OTHER SOURCE(S): MARPAT 99:195277
AB Antirachitic (no data) 1-hydroxy vitamin D compds. were prepared by Se+4 allylic hydroxylation of C-1 unsubstituted 5,6-trans-vitamin D compds. in the presence of selenous acid at pH 3-9 and in the presence of a co-oxidant capable of oxidation of Se+2 compds. to Se+4 compds. Thus, treating trans-vitamin D3 tert-butyldimethylsilyl ether with N-methylmorpholine oxide hydrate in CH2Cl2 and then with SeO2 in MeOH gave 52% 1α-hydroxy-3-(tert-butyldimethylsiloxy)-trans-vitamin D3. Photochem. isomerization of the latter and then desilylation by Bu4N+F- gave 1α-hydroxy-cis-vitamin D3.
IT 87680-62-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 87680-62-4 CAPLUS
CN 1H-Indene-1-acetaldehyde, 4-[[1,3,4,5,6,7-hexahydro-2,2-dioxido-6-

[(triethylsilyl)oxy]benzo[c]thien-1-yl]methylene]octahydro-α,7a-dimethyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L10 ANSWER 69 OF 69 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1983:576164 CAPLUS
DOCUMENT NUMBER: 99:176164
ORIGINAL REFERENCE NO.: 99:27049a,27052a
TITLE: Intermediates in the synthesis of vitamin D derivatives
INVENTOR(S): Hesse, Robert Henry
PATENT ASSIGNEE(S): Research Institute for Medicine and Chemistry, Inc., USA
SOURCE: Eur. Pat. Appl., 75 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 78704	A1	19830511	EP 1982-305821	19821102 <--
EP 78704	B1	19870429		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 58126861	A	19830728	JP 1982-191955	19821102 <--
JP 02024268	B	19900529		
GB 2114570	A	19830824	GB 1982-31299	19821102 <--
GB 2114570	B	19850807		
ZA 8208012	A	19830928	ZA 1982-8012	19821102 <--
ZA 8208011	A	19840125	ZA 1982-8011	19821102 <--
CA 1204752	A1	19860520	CA 1982-414661	19821102 <--
IL 67153	A	19861231	IL 1982-67153	19821102 <--
AT 26838	T	19870515	AT 1982-305821	19821102 <--
US 4554105	A	19851119	US 1984-648309	19840907 <--
US 4772433	A	19880920	US 1986-827553	19860210 <--
JP 02000163	A	19900105	JP 1989-109265	19890501 <--

JP 05067627	B	19930927		
PRIORITY APPLN. INFO.:			GB 1981-33018	A 19811102
			GB 1981-33019	A 19811102
			GB 1981-33021	A 19811102
			EP 1982-305821	A 19821102
			US 1982-438603	A1 19821102
			US 1982-438604	A1 19821102
			US 1984-568620	A1 19840106
			US 1984-568891	A1 19840106
			US 1984-650891	A1 19840917
OTHER SOURCE(S):		MARPAT 99:176164		

GI

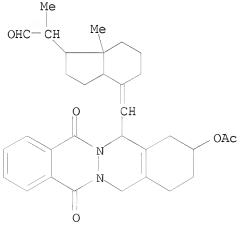
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Secosteroid cycloadducts I [R = H, protecting group; X = dienophile moiety; R1 = halo, hydrocarbylsulfonyloxy, X1R4 (X1 = O, S, SO, NR5, CR5R6; R4, R5, R6 = H, alkyl); R2 = H; R1R2 = O, alkylidene; R3 = H, protected HO] were prepared from ergosterol as intermediates in the synthesis of vitamin D analogs. Thus, cyclization of ergosterol acetate and phthalazine-1,4-dione gave adduct II, which underwent successive ozonolysis, reduction, and tosylation to give tosylate III. Substitution reaction of III with HSCH2CMe2OH followed by removal of the phthalazine blocking group by hydrazinolysis and treatment with dianisyltellurium oxide-K2CO3 gave thiacholestatriene IV.

IT 87417-05-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction and Wittig reactions of)

RN 87417-05-8 CAPLUS

CN 1H-Indene-1-acetaldehyde, 4-[[3-(acetyloxy)-1,2,3,4,5,7,12,14-octahydro-7,12-dioxophthalazino[2,3-b]phthalazin-5-yl]methylene]octahydro-α,7a-dimethyl-, [1R-[1α(S*),3aβ,4E(3S*,5R*),7aα]]- (9CI) (CA INDEX NAME)

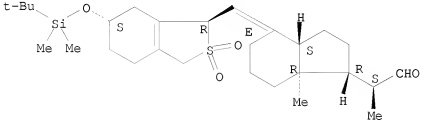


IT 87407-47-4P 87407-48-5P 87417-06-9P
87422-13-7P 87422-14-8P 87436-42-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)

RN 87407-47-4 CAPLUS

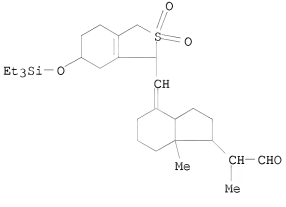
CN 1H-Indene-1-acetaldehyde, 4-[[(1R,6S)-6-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1,3,4,5,6,7-hexahydro-2,2-dioxidobenzo[c]thien-1-yl]methylene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.



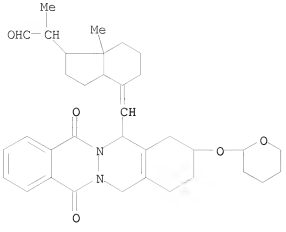
RN 87407-48-5 CAPLUS

CN 1H-Indene-1-acetaldehyde, 4-[[1,3,4,5,6,7-hexahydro-2,2-dioxido-6-[(triethylsilyl)oxy]benzo[c]thien-1-yl]methylene]octahydro-α,7a-dimethyl-, [1R-[1α(S*),3aβ,4E(1R*,6S*),7aα]]- (9CI) (CA INDEX NAME)



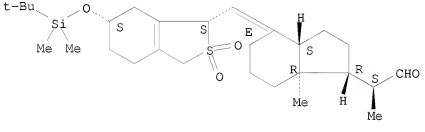
RN 87417-06-9 CAPLUS

CN 1H-Indene-1-acetaldehyde, octahydro-α,7a-dimethyl-4-[[1,2,3,4,5,7,12,14-octahydro-7,12-dioxo-3-[(tetrahydro-2H-pyran-2-yl)oxy]phthalazino[2,3-b]phthalazin-5-yl]methylene]-, [1R-[1α(S*),3aβ,4E(3S*,5R*),7aα]]- (9CI) (CA INDEX NAME)

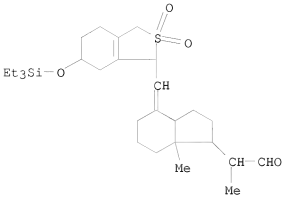


RN 87422-13-7 CAPLUS
CN 1H-Indene-1-acetaldehyde, 4-[[(1S,6S)-6-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1,3,4,5,6,7-hexahydro-2,2-dioxidobenzo[c]thien-1-yl]methylene]octahydro-α,7a-dimethyl-, (αS,1R,3aS,4E,7aR)- (CA INDEX NAME)

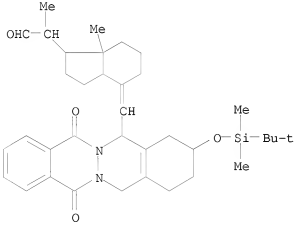
Absolute stereochemistry.
Double bond geometry as described by E or Z.



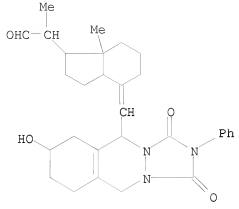
RN 87422-14-8 CAPLUS
CN 1H-Indene-1-acetaldehyde, 4-[[1,3,4,5,6,7-hexahydro-2,2-dioxido-6-[(triethylsilyl)oxy]benzo[c]thien-1-yl]methylene]octahydro-α,7a-dimethyl-, [1R-[1α(S*),3aβ,4E(1S*,6S*),7aα]]- (9CI) (CA INDEX NAME)



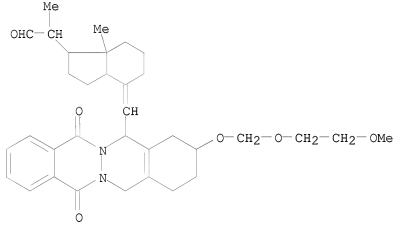
RN 87436-42-8 CAPLUS
CN 1H-Indene-1-acetaldehyde, 4-[[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1,2,3,4,5,7,12,14-octahydro-7,12-dioxophthalazino[2,3-b]phthalazin-5-yl]methylene]octahydro-α,7a-dimethyl-, [1R-[1α(S*),3aβ,4E(3S*,5R*),7aα]]- (9CI) (CA INDEX NAME)



IT 87416-99-7P 87417-07-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 87416-99-7 CAPLUS
CN 1H-Indene-1-acetaldehyde, octahydro-α,7a-dimethyl-4-[(2,3,5,6,7,8,9,10-octahydro-7-hydroxy-1,3-dioxo-2-phenyl-1H-[1,2,4]triazolo[1,2-b]phthalazin-5-yl)methylene]-, [1R-[1α(S*),3aβ,4E(5R*,7S*),7aα]]- (9CI) (CA INDEX NAME)



RN 87417-07-0 CAPLUS
CN 1H-Indene-1-acetaldehyde, octahydro-α,7a-dimethyl-4-[[1,2,3,4,5,7,12,14-octahydro-3-[(2-methoxyethoxy)methoxy]-7,12-dioxophthalazino[2,3-b]phthalazin-5-yl]methylene]-, [1R-[1α(S*),3aβ,4E(3S*,5R*),7aα]]- (9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (19 CITINGS)